

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
16 June 2005 (16.06.2005)

PCT

(10) International Publication Number  
**WO 2005/054259 A1**

(51) International Patent Classification<sup>7</sup>: **C07F 9/30**,  
A61K 31/66

(21) International Application Number:  
PCT/EP2004/013177

(22) International Filing Date:  
19 November 2004 (19.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0327186.3 21 November 2003 (21.11.2003) GB

(71) Applicant (for all designated States except AT, US): NO-  
VARTIS AG [CH/CH]; Lichtstrasse 35, 4056 Basl (CH).

(71) Applicant (for AT only): NOVARTIS PHARMA GMBH  
[AT/AT]; Brunner Strasse 59, 1230 Vienna (AT).

(72) Inventor; and

(75) Inventor/Applicant (for US only): FROESTL, Wolfgang  
[AT/CH]; Holbeinstrasse 18/3, 4051 Basel (CH).

(74) Agent: GRUBB, Phillip; Novartis AG, Corporate Intellec-  
tual Property, 4002 Basel (CH).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: PHOSPHINIC ACID DERIVATIVES

(57) Abstract: The present invention relates to phosphinic acid derivatives as GABA<sub>B</sub> antagonists, their preparation, their use as  
pharmaceuticals and pharmaceutical compositions containing them.



WO 2005/054259 A1